

Full Text

STN

ACCESSION NUMBER: 1980-34734C [20] WPIINDEX  
 ENTRY DATE: 20050418  
 TITLE: Prepn. of pyrimidine derivs. and nucleoside(s) - by nucleophilic substitution of 4-sulphonate derivs.  
 ADDITIONAL WORDS: CYTOSTATIC ANTIBACTERIAL ANTIFUNGAL ANTIVIRAL ACTIVE  
 DERWENT CLASS: B03; C02  
 INVENTOR: BAERWOLFF D; DEMIROV G D; GOLOVINSKY E V  
 PATENT ASSIGNEE: (DEAK-C) AKAD WISSENSCHAFTEN DDR  
 COUNTRY COUNT: 1

## PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DD 140254	A	19800220	(198020)*	DE		

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
DD 140254 A		DD 1978-209494	19781204

## INT. PATENT CLASSIF.:

IPC RECLASSIF.: C07H0019-00 [I,C]; C07H0019-06 [N,A]; C07H0019-10 [I,A]

## BASIC ABSTRACT:

DD 140254 A UPAB: 20050418  
 Prepn. of pyrimidine derivs. of formula (I) is claimed. In (I) X is NH<sub>2</sub>, NHNH<sub>2</sub>, N(CH<sub>2</sub>CH<sub>2</sub>C<sub>1</sub>)<sub>2</sub>, NHN(CH<sub>2</sub>CH<sub>2</sub>C<sub>1</sub>)<sub>2</sub>, NH<sub>3</sub>, NHCH(R<sub>1</sub>)COOR<sub>2</sub>, SH, SR<sub>1</sub>, OR<sub>1</sub> ethylenimino or halogen, where R<sub>1</sub> is H, alkyl or aryl and R<sub>2</sub> is H or alkyl. Y is O, S or NH, Z is halogen, opt. substd. alkyl, aryl or alkoxy, R is aryl, alkyl a heterocyclic gp. or an OH-protected monosaccharide residue opt. esterified by phosphate or halogen. Cpd. (I) are prep'd. by (a) reacting the corresp. cpd. where X = OH with a sulphonyl chloride in the presence of NaH, and (b) reacting the resulting sulphonate ester with XH or its salts. Step (a) is pref. effected at 0-100 degrees C (esp. room temp.) using R<sub>3</sub>SO<sub>2</sub>Cl (where R<sub>3</sub> is alkyl, alkaryl, or perfluoroalkyl).

Cpd. (I) have cytostatic, antibacterial, antifungal and antiviral activity. The process is simple and gives high yields (e.g. 5-82%) under mild conditions.

## FILE SEGMENT: CPI

MANUAL CODE: CPI: B04-B03; B05-B01M; B07-D12; B12-A01; B12-A02; B12-A06; B12-G07; C04-B03; C05-B01M; C07-D12; C12-A01; C12-A02; C12-A06; C12-G07

## CHEMICAL CODE: UPB 20050418

M1 \*01\* B615 B701 B713 B815 B819 B831 F113 F400 F542 G040 H121 H201 H211 H401  
 H421 H422 H423 H424 H481 H482 H483 H484 H521 H522 H523 H592 H599 H600  
 H601 H602 H608 H609 H685 J171 J271 J521 J522 J592 K510 K600 K630 K800  
 K840 L350 L810 M232 M233 M240 M331 M333 M411 M413 M510 M522 M523 M530  
 M531 M532 M540 M720 N000 P001 P210 P220 P241 P242 P434 P631 P633 P634  
 V761 V762 M902

M1 \*02\* B615 B701 B713 B815 B819 B831 F113 F400 F542 G040 H121 H201 H211 H401  
 H421 H422 H423 H424 H481 H482 H483 H484 H521 H522 H523 H592 H599 H600  
 H601 H602 H608 H609 H685 J171 J271 J521 J522 J592 K510 K600 K630 K800  
 K840 L350 L810 M232 M233 M240 M331 M333 M411 M413 M510 M522 M523 M530  
 M531 M532 M540 M720 N000 P210 P220 P241 P242 P434 P631 P633 P634 V761  
 V762 M902

M2 \*03\* B615 B701 B713 B720 B815 B819 B831 F113 F400 F542 G040 H121 H2 H201  
 H211 H4 H401 H421 H422 H423 H424 H481 H482 H483 H484 H521 H522 H523  
 H592 H599 H600 H601 H602 H608 H609 H685 J171 J271 J521 J522 J592 K0  
 K510 K600 K630 K800 K840 L350 L810 M113 M115 M123 M125 M129 M141 M142  
 M210 M220 M225 M226 M231 M232 M233 M240 M270 M280 M281 M282 M311 M312  
 M313 M314 M315 M316 M321 M322 M323 M331 M332 M333 M334 M340 M342 M343  
 M360 M370 M380 M391 M392 M411 M510 M522 M523 M530 M531 M532 M540 M720

N000 P210 P220 P241 P242 P434 P631 P633 P634 M902  
 M2 \*04\* F020 F021 F113 F400 F542 G040 H121 H181 H2 H201 H211 H401 H421 H422  
 H423 H424 H481 H482 H483 H484 H521 H522 H523 H592 H599 H600 H601 H602  
 H608 H609 H685 J171 J271 J521 J522 J592 K510 K600 K630 K800 K840 L350  
 L810 M113 M115 M123 M125 M129 M141 M142 M210 M211 M212 M213 M214 M215  
 M216 M220 M221 M222 M223 M224 M225 M226 M231 M232 M233 M240 M270 M280  
 M281 M282 M283 M311 M312 M313 M314 M315 M316 M320 M321 M322 M323 M331  
 M332 M333 M334 M340 M342 M343 M360 M370 M380 M391 M392 M393 M413 M510  
 M521 M522 M523 M530 M531 M532 M533 M540 M720 N000 P210 P220 P241 P242  
 P434 P631 P633 P634 M902  
 M2 \*05\* B615 B701 B713 B720 B815 B819 B831 F113 F400 F542 G040 H121 H2 H201  
 H211 H4 H401 H421 H422 H423 H424 H481 H482 H483 H484 H521 H522 H523  
 H592 H599 H600 H601 H602 H608 H609 H685 J171 J271 J521 J522 J592 K0  
 K510 K600 K630 K800 K840 L350 L810 M113 M115 M123 M125 M129 M141 M142  
 M210 M220 M225 M226 M231 M232 M233 M240 M270 M280 M281 M311 M312  
 M313 M314 M315 M316 M321 M322 M323 M331 M332 M333 M334 M340 M342 M343  
 M360 M370 M380 M391 M392 M411 M510 M522 M523 M530 M531 M532 M540 M720  
 N000 P001 P210 P220 P241 P242 P434 P631 P633 P634 M902  
 M2 \*06\* F020 F021 F113 F400 F542 G040 H121 H181 H2 H201 H211 H401 H421 H422  
 H423 H424 H481 H482 H483 H484 H521 H522 H523 H592 H599 H600 H601 H602  
 H608 H609 H685 J171 J271 J521 J522 J592 K510 K600 K630 K800 K840 L350  
 L810 M113 M115 M123 M125 M129 M141 M142 M210 M211 M212 M213 M214 M215  
 M216 M220 M221 M222 M223 M224 M225 M226 M231 M232 M233 M240 M270 M280  
 M281 M282 M283 M311 M312 M313 M314 M315 M316 M320 M321 M322 M323 M331  
 M332 M333 M334 M340 M342 M343 M360 M370 M380 M391 M392 M393 M413 M510  
 M521 M522 M523 M530 M531 M532 M533 M540 M720 N000 P001 P210 P220 P241  
 P242 P434 P631 P633 P634 M902  
 M2 \*07\* B615 B701 B713 B720 B815 B819 B831 F010 F011 F012 F013 F014 F015 F016  
 F017 F018 F019 F113 F400 F542 G000 G001 G002 G040 H100 H101 H102 H103  
 H121 H2 H201 H211 H4 H401 H402 H403 H404 H421 H422 H423 H424 H481  
 H482 H483 H484 H521 H522 H523 H592 H599 H600 H601 H602 H607 H608 H609  
 H621 H622 H623 H641 H642 H643 H681 H682 H683 H684 H685 H686 H689 J011  
 J012 J171 J271 J521 J522 J592 K0 K510 K600 K620 K630 K640 K800 K810  
 K820 K840 K850 L350 L351 L352 L353 L354 L355 L560 L640 L810 L811 L812  
 L813 L814 L815 L816 L817 L818 L821 L831 L832 L833 L834 L835 L910 L921  
 L922 L930 L941 L942 L943 L960 L999 M113 M115 M123 M125 M129 M141 M142  
 M210 M220 M225 M226 M231 M232 M233 M240 M270 M280 M281 M282 M311 M312  
 M313 M314 M315 M316 M321 M322 M323 M331 M332 M333 M334 M340 M342 M343  
 M360 M370 M380 M391 M392 M411 M510 M522 M523 M530 M531 M532 M540 M720  
 N000 P210 P220 P241 P242 P434 P631 P633 P634 M903  
 M2 \*08\* F000 F010 F011 F012 F013 F014 F015 F016 F017 F018 F019 F020 F021 F113  
 F400 F542 G000 G001 G002 G040 H100 H101 H102 H103 H121 H181 H2 H201  
 H211 H401 H402 H403 H404 H421 H422 H423 H424 H481 H482 H483 H484 H521  
 H522 H523 H592 H599 H600 H601 H602 H607 H608 H609 H621 H622 H623 H641  
 H642 H643 H681 H682 H683 H684 H685 H686 H689 J011 J012 J171 J271 J521  
 J522 J592 K510 K600 K620 K630 K640 K800 K810 K820 K840 K850 L350 L351  
 L352 L353 L354 L355 L560 L640 L810 L811 L812 L813 L814 L815 L816 L817  
 L818 L821 L831 L832 L833 L834 L835 L910 L921 L922 L930 L941 L942 L943  
 L960 L999 M113 M115 M123 M125 M129 M141 M142 M210 M211 M212 M213 M214  
 M215 M216 M220 M221 M222 M223 M224 M225 M226 M231 M232 M233 M240 M270  
 M280 M281 M282 M283 M311 M312 M313 M314 M315 M316 M320 M321 M322 M323  
 M331 M332 M333 M334 M340 M342 M343 M360 M370 M380 M391 M392 M393 M413  
 M510 M521 M522 M523 M530 M531 M532 M533 M540 M720 N000 P210 P220 P241  
 P242 P434 P631 P633 P634 M903  
 M2 \*09\* B615 B701 B713 B720 B815 B819 B831 F010 F011 F012 F013 F014 F015 F016  
 F017 F018 F019 F113 F400 F542 G000 G001 G002 G040 H100 H101 H102 H103  
 H121 H2 H201 H211 H4 H401 H402 H403 H404 H421 H422 H423 H424 H481  
 H482 H483 H484 H521 H522 H523 H592 H599 H600 H601 H602 H607 H608 H609  
 H621 H622 H623 H641 H642 H643 H681 H682 H683 H684 H685 H686 H689 J011  
 J012 J171 J271 J521 J522 J592 K0 K510 K600 K620 K630 K640 K800 K810  
 K820 K840 K850 L350 L351 L352 L353 L354 L355 L560 L640 L810 L811 L812  
 L813 L814 L815 L816 L817 L818 L821 L831 L832 L833 L834 L835 L910 L921  
 L922 L930 L941 L942 L943 L960 L999 M113 M115 M123 M125 M129 M141 M142  
 M210 M220 M225 M226 M231 M232 M233 M240 M270 M280 M281 M282 M311 M312  
 M313 M314 M315 M316 M321 M322 M323 M331 M332 M333 M334 M340 M342 M343  
 M360 M370 M380 M391 M392 M411 M510 M522 M523 M530 M531 M532 M540 M720  
 N000 P001 P210 P220 P241 P242 P434 P631 P633 P634 M903

M2 \*10\* F000 F010 F011 F012 F013 F014 F015 F016 F017 F018 F019 F020 F021 F113  
F400 F542 G000 G001 G002 G040 H100 H101 H102 H103 H121 H181 H2 H201  
H211 H401 H402 H403 H404 H421 H422 H423 H424 H481 H482 H483 H484 H521  
H522 H523 H592 H599 H600 H601 H602 H607 H608 H609 H621 H622 H623 H641  
H642 H643 H681 H682 H683 H684 H685 H686 H689 J011 J012 J171 J271 J521  
J522 J592 K510 K600 K620 K630 K640 K800 K810 K820 K840 K850 L350 L351  
L352 L353 L354 L355 L560 L640 L810 L811 L812 L813 L814 L815 L816 L817  
L818 L821 L831 L832 L833 L834 L835 L910 L921 L922 L930 L941 L942 L943  
L960 L999 M113 M115 M123 M125 M129 M141 M142 M210 M211 M212 M213 M214  
M215 M216 M220 M221 M222 M223 M224 M225 M226 M231 M232 M233 M240 M270  
M280 M281 M282 M283 M311 M312 M313 M314 M315 M316 M320 M321 M322 M323  
M331 M332 M333 M334 M340 M342 M343 M360 M370 M380 M391 M392 M393 M413  
M510 M521 M522 M523 M530 M531 M532 M533 M540 M720 N000 P001 P210 P211  
P220 P241 P242 P434 P631 P633 P634 M903